Application No. 10/534,945 Response dated December 2, 2008 Reply to Office Action of June 3, 2008

CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application.

Listing of Claims:

1. (Currently Amended) A compound having the formula

$$R^4$$
 R^5
 R^3
 X
 R^2

wherein

X is S, SO or SO2;

 R^1 is a 5- or 6-membered monocyclic, hetero- or homocyclic, saturated or unsaturated ring structure optionally substituted with one or more substituents selected from the group consisting of halogen,

 $CN, (1C\text{-}4C) fluoroalkyl, nitro, (1C\text{-}4C) alkyl, (1C\text{-}4C) alkoxy \ and \ (1C\text{-}4C) fluoroalkoxy;$

R² is 2-nitrophenyl, 2-cyanophenyl, 2-hydroxymethyl-phenyl, pyridin-2-yl, pyridin-2-yl-N-oxide, 2benzamide, 2-benzoic acid methyl ester or 2-methoxyphenyl;

R3 is H, halogen or (1C-4C)alkyl;

R4 is H, OH, (1C-4C)alkoxy, or halogen;

R⁵ is H, OH, (1C-4C)alkoxy, NH₂, CN, halogen, (1C-4C)fluoroalkyl, NO₂, hydroxy(1C-4C)alkyl,

CO2H, CO2(1C-6C)alkyl, or

R⁵ is NHR⁶, wherein R⁶ is (1C-6C)acyl optionally substituted with one or more halogens, S(O)₂(1C-

4C)alkyl, or S(O)2aryl optionally substituted with (1C-4C)alkyl or one or more halogens, or

R⁵ is C(O)N(R⁸,R⁹), wherein R⁸ and R⁹ each independently are H, (3C-6C)cycloalkyl, or CH₂R¹⁰,

wherein R¹⁰ is H, (1C-5C)alkyl, (1C-5C)alkenyl, hydroxy(1C-3C)alkyl, (1C-4C)alkylester of

carboxy(1C-4C)alkyl, (1C-3C)alkoxy(1C-3C)alkyl, (mono- or di(1C-4C)alkyl)aminomethyl,

(mono- or di(1C-4C)alkyl)aminocarbonyl, or a 3-, 4-, 5- or 6-membered monocyclic, homo- or

heterocyclic, aromatic or non-aromatic ring, or R^8 and R^9 form together with the N a heterocyclic 5-

or 6-membered saturated or unsaturated ring optionally substituted with (1C-4C)alkyl;

or a salt or hydrate form thereof.

2. (Previously Presented) The compound according to claim 1, wherein,

 \boldsymbol{R}^1 is a 5- or 6-membered monocyclic, hetero- or homocyclic, saturated or unsaturated ring structure

optionally substituted with one or more substituents selected from the group consisting of halogen,

CN, CF3, nitro, methoxy, trifluoromethoxy and methyl;

 $R^2 \ is \ 2-nitrophenyl, \ 2-cyanophenyl, \ 2-hydroxymethyl-phenyl, \ pyridin-2-yl, \ pyridin-2-yl-N-oxide, \ 2-nitrophenyl, \ 2-cyanophenyl, \ 2-hydroxymethyl-phenyl, \ pyridin-2-yl, \ pyridin-2-yl-N-oxide, \ 2-nitrophenyl, \ 2-nitrophenyl,$

benzamide, 2-benzoic acid methyl ester or 2-methoxyphenyl;

R³ is H, halogen or (1C-2C)alkyl;

R4 is H or F.

3. (Previously Presented) The compound according to claim 2, wherein,

R⁵ is H, OH, (1C-4C)alkoxy, CN, halogen, (1C-4C)fluoroalkyl, NO₂, hydroxy(1C-4C)alkyl,

CO2(1C-6C)alkyl, or

R⁵ is NHR⁶, wherein R⁶ is (1C-6C)acyl optionally substituted with one or more halogens, S(O)₂(1C-

4C)alkyl, or S(O)2aryl optionally substituted with (1C-4C)alkyl or one or more halogens, or

R⁵ is C(O)N(R⁸,R⁹), wherein R⁸ and R⁹ each independently are H. (3C-6C)cycloalkyl, or CH₂R¹⁰.

wherein R¹⁰ is H. (1C-5C)alkyl, (1C-5C)alkenyl, hydroxy(1C-3C)alkyl, (1C-4C)alkylester of

carboxy(1C-4C)alkyl, (1C-3C)alkoxy(1C-3C)alkyl, (mono- or di(1C-4C)alkyl)aminomethyl,

(mono- or di(1C-4C)alkyl)-aminocarbonyl, or a 3-, 4-, 5- or 6-membered monocyclic, homo- or

heterocyclic, aromatic or non-aromatic ring, or R⁸ and R⁹ form together with the N a heterocyclic 5-

or 6-membered saturated or unsaturated ring optionally substituted with (1C-4C)alkyl.

4. (Previously Presented) The compound according to claim 3, wherein,

R3 is H or halogen:

R4 is H:

R5 is H. OH, (1C-4C)alkoxy, CN, F, Cl, CF3, NO2, hydroxy(1C-4C)alkyl, CO2(1C-6C)alkyl, or

R⁵ is NHR⁶, wherein R⁶ is (1C-3C)acyl optionally substituted with one or more halogens or

R⁵ is C(O)N(R⁸,R⁹), wherein R⁸ and R⁹ each independently are H, (3C-5C)cycloalkyl, or CH₂R¹⁰.

wherein R¹⁰ is H, (1C-5C)alkyl, (1C-5C)alkenyl, hydroxy(1C-3C)alkyl, (1C-2C)alkylester of

carboxy(1C-2C)alkyl, (1C-3C)alkoxy(1C-3C)alkyl, (mono- or di(1C-4C)alkyl)aminomethyl,

(mono- or di(1C-4C)alkyl)aminocarbonyl, (3C-5C)cycloalkyl, or a 5-membered heterocyclic ring.

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5. (Previously Presented) The compound according to claim 4, wherein,

X is S or SO₂;

R² is 2-nitrophenyl, 2-hydroxymethyl-phenyl, 2-benzamide, 2-methoxyphenyl, 2-cyanophenyl or pyridin-2-yl;

R3 is H or F;

evelopropyl.

 R^5 is H, OH, (1C-2C)alkoxy, CN, F, Cl, CF_3 , NO_2 , hydroxy(1C-4C)alkyl, $CO_2(1C-4C)$ alkyl, or R^5 is NHR^6 , wherein R^6 is formyl, acetyl, fluoroacetyl, difluoroacetyl, or trifluoroacetyl, or R^5 is $C(O)N(R^8,R^9)$, wherein R^8 is H, and R^9 is H, cyclopropyl or R^9 is CH_2R^{10} , wherein R^{10} is H, (1C-2C)alkyl, hydroxy(1C-2C)alkyl, methoxy(1C-2C)alkyl,

6. (Previously Presented) The compound according to claim 5, wherein,

X is S:

R¹ is 3,5-difluorophenyl, pyridin-2-yl, pyridin-3-yl, pyrimidin-5-yl, pyrimidin-4-yl, pyrazin-2-yl, 3-fluorophenyl, 3-cyanophenyl, or 3-nitrophenyl;

 R^2 is 2-nitrophenyl, 2-hydroxymethyl-phenyl, 2-methoxyphenyl, 2-cyanophenyl or pyridin-2-yl; R^3 is H:

 R^5 is OH, (1C-2C)alkoxy, CN, CF₃, NO₂, hydroxy(1C-4C)alkyl, CO₂(1C-4C)alkyl, or NHR⁶, wherein R^6 is formyl, acetyl, fluoroacetyl, difluoroacetyl, or trifluoroacetyl.

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7. (Previously Presented) The compound according to claim 6, wherein,

 $R^1\ is\ 3,5-difluor ophenyl,\ pyridin-2-yl,\ pyridin-3-yl,\ pyrimidin-5-yl,\ pyrimidin-4-yl,\ or\ pyrazin-2-yl;$

R² is 2-nitrophenyl, or 2-hydroxymethyl-phenyl;

R⁵ is OH, (1C-2C)alkoxy, CN, hydroxy(1C-4C)alkyl, or NHR⁶, wherein R⁶ is formyl, acetyl,

fluoroacetyl, difluoroacetyl, or trifluoroacetyl.

8. (Previously Presented) The compound according to claim 7, wherein,

R¹ is 3,5-difluorophenyl, pyridin-2-yl, pyridin-3-yl, pyrimidin-5-yl, or pyrimidin-4-yl;

R² is 2-nitrophenyl;

 $R^5 \ is \ OH, \ (1C\text{-}2C) alkoxy, \ CN, \ or \ NHR^6, \ wherein \ R^6 \ is \ formyl, \ acetyl, \ fluoroacetyl, \ difluoroacetyl,$

 $or\ trifluor oac etyl.$

9. (Previously Presented) The compound according to claim 8 selected from the group consisting of

6-Methoxy-3-(2-nitro-phenylsulfanyl)-1-pyrimidin-5-ylmethyl-1*H*-indole,

phenylsulfanyl)-1-pyridin-2-ylmethyl-1*H*-indole-6-carbonitrile, 3-(2-Nitro-phenylsulfanyl)-1-

pyridin-2-ylmethyl-1*H*-indole-6-carbonitrile-hydrochloride,

3-(2-Nitro-phenylsulfanyl)-1-

3-(2-Nitro-

pyrimidin-5-ylmethyl-1H-indole-6-carbonitrile, 3-(2-Nitro-phenylsulfanyl)-1-pyrimidin-4-ylmethyl-

1*H*-indole-6-carbonitrile. *N*-[1-(3,5-Difluoro-benzyl)-3-(2-nitro-phenylsulfanyl)-1*H*-indol-6-yll-2-

fluoro-acetamide, and N-[3-(2-Nitro-phenylsulfanyl)-1-pyrimidin-5-ylmethyl-1*H*-indol-6-yll-

formamide.

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10. (Previously Presented) The compound according to claim 5, wherein,

X is S;

 R^1 is 3,5-difluorophenyl, pyridin-2-yl, pyridin-3-yl, 3-fluorophenyl, 3-cyanophenyl, or 3-nitrophenyl;

 \mathbb{R}^2 is 2-nitrophenyl, 2-hydroxymethyl-phenyl, 2-methoxyphenyl, 2-cyanophenyl or pyridin-2-yl; \mathbb{R}^3 is H:

 R^5 is $C(O)N(R^8,R^9)$, wherein R^8 is H, and R^9 is H, or CH_2R^{10} , wherein R^{10} is H, (1C-2C)alkyl, hydroxy(1C-2C)alkyl, or methoxy(1C-2C)alkyl.

11. (Previously Presented) The compound according to claim 10, wherein,

R¹ is 3,5-difluorophenyl, pyridin-2-yl, or pyridin-3-yl;

R² is 2-nitrophenyl, or 2-hydroxymethyl-phenyl;

 R^5 is $C(O)N(R^8,R^9)$, wherein R^8 is H, and R^9 is CH_2R^{10} , wherein R^{10} is H, or (1C-2C)alkyl.

12. (Withdrawn) The compound according to claim 11, which is 1-(3,5-Difluoro-benzyl)-3-(2-nitro-phenylsulfanyl)-1*H*-indole-6-carboxylic acid methylamide.

13. (Previously Presented) The compound according to claim 4, wherein,

X is S;

R¹ is 3,5-difluorophenyl, pyridin-2-yl, pyridin-3-yl, 3-fluorophenyl, 3-cyanophenyl, or 3-nitrophenyl;

 R^2 is 2-nitrophenyl, 2-hydroxymethyl-phenyl, 2-methoxyphenyl, 2-cyanophenyl or pyridin-2-yl; R^3 is H:

 R^5 is $C(O)N(R^8,R^9)$, wherein R^8 and R^9 each independently are H, or CH_2R^{10} , wherein R^{10} is H, (1C-5C)alkyl, (1C-5C)alkenyl, hydroxy(1C-3C)alkyl, (1C-3C)alkoxy(1C-3C)alkyl, or (mono- or di(1C-4C)alkyl)aminomethyl.

14. (Previously Presented) The compound according to claim 13, wherein,

R1 is 3,5-difluorophenyl, pyridin-2-yl, or pyridin-3-yl;

R² is 2-nitrophenyl, or 2-hydroxymethyl-phenyl;

 R^5 is $C(O)N(R^8,R^9)$, wherein R^8 and R^9 each independently are H, or CH_2R^{10} , wherein R^{10} is H, (1C-5C)alkyl, hydroxy(1C-3C)alkyl, or (1C-3C)alkoxy(1C-3C)alkyl.

15. (Withdrawn) The compound according to claim 14, which is 1-(3,5-Difluoro-benzyl)-3-(2-nitro-phenylsulfanyl)-1H-indole-6-carboxylic acid dimethylamide.

16. (Canceled).

17. (Currently Amended) A pharmaceutical composition, comprising: the compound according to claim 1 or salt thereof and a pharmaceutically acceptable carrier. Application No. 10/534,945
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18. (Currently Amended) A method of treating an androgen-receptor related disorder in a patient in

need thereof, comprising:

administering to said patient a pharmaceutically effective amount of the compound or salt

thereof according to claim 1.

19. (Cancelled)

20. (Withdrawn) A method of treating an androgen insufficiency, comprising:

administering a pharmaceutically effective amount of the compound according to to claim 1 to a

subject in need thereof.

21. (New) The method of claim 18, wherein the androgen-receptor related disorder is benign

prostate hyperplasia.